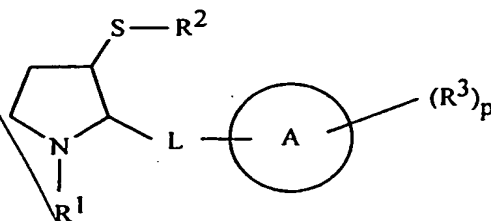


CLAIMS

1. A compound of the Formula I



Formula I

5 wherein:

R^1 is selected from H; $-C_{1-4}$ alkyl; $-CO-C_{1-4}$ alkyl; $-CO-O-C_{1-4}$ alkyl; $-CO-O-C_{2-4}$ alkenyl; $-C_{1-4}$ alkylene- $CONR^4R^5$ (wherein R^4 and R^5 are independently selected from H and C_{1-4} alkyl); $-C_{1-4}$ alkylene- $COOR^6$ (wherein R^6 is selected from H and C_{1-4} alkyl); $-C_{1-3}$ alkylene-Ph and $-CO-O(CH_2)_nPh$ wherein the phenyl groups in $-C_{1-3}$ alkylene-Ph and $-CO-O(CH_2)_nPh$ are optionally substituted by R^a and/or R^b and R^a and R^b are independently selected from C_{1-4} alkyl, halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} alkanoyl, C_{1-4} alkanoyloxy, amino, C_{1-4} alkylamino, di(C_{1-4} alkyl)amino, C_{1-4} alkanoylamino, nitro, cyano, carboxy, carbamoyl, C_{1-4} alkoxycarbonyl, thiol, C_{1-4} alkylsulfanyl, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl and sulfonamido; and $n=0-4$;

10 R^2 is selected from H; $-C_{1-4}$ alkyl; $-COC_{1-4}$ alkyl; and $-COOC_{1-4}$ alkyl; and $-C_{1-3}$ alkylene-Ph optionally substituted on the phenyl ring by R^a and/or R^b ; R^3 is selected from H; OH; CN; CF_3 ; NO_2 ; $-C_{1-4}$ alkyl; $-C_{1-4}$ alkylene- R^7 ; $-C_{2-4}$ alkenylene- R^7 ; $-C_{2-4}$ alkynylene- R^7 ; R^7 ; OR^7 (where R^7 is selected from phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms

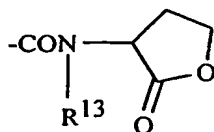
20 selected from O, N and S and any aryl ring in R^7 is optionally substituted by R^a and/or R^b); C_{2-4} alkenyl; halogen; $-(CH_2)_nCOOR^8$ (where $n=0-3$ and R^8 represents H, C_{1-4} alkyl, or C_{2-4} alkenyl); $-CONR^9R^{10}$ (where R^9 and R^{10} independently represent H, C_{1-4} alkyl, C_{2-4} alkenyl, $-O-C_{1-4}$ alkyl, $-O-C_{2-4}$ alkenyl or $-C_{1-3}$ alkylenePh (wherein Ph is optionally substituted by R^a and R^b as hereinabove defined); $-CON(R^{11})OR^{12}$ (where R^{11} and R^{12}

25 independently represent H, C_{1-4} alkyl or C_{2-4} alkenyl);

a group of Formula II: $-CONR^{13}-CR^{13a}R^{14}-COOR^{17}$, (where R^{13} and R^{13a} are independently H or C_{1-4} alkyl, R^{17} is H or C_{1-6} alkyl, R^{14} is selected from the side chain of a lipophilic

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cont

amino acid, carbamoylC₁₋₄alkyl, N-(monoC₁₋₄alkyl)carbamoylC₁₋₄alkyl and N-(diC₁₋₄alkyl)carbamoylC₁₋₄alkyl) the group of Formula II having L or D configuration at the chiral alpha carbon in the corresponding free amino acid; a lactone of formula:



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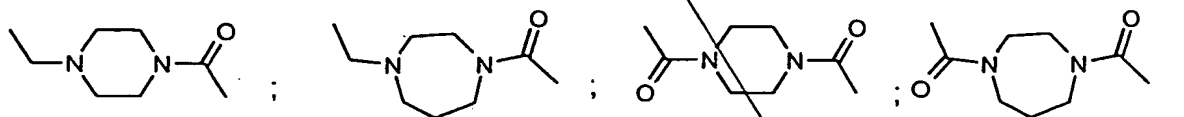
C₁₋₄alkyl monosubstituted on carbon with =N-OH;

a group of Formula -X-R¹⁵ (where X is selected from O, CO, CH₂, S, SO, SO₂ and R¹⁵ is selected from C₁₋₆alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O, N and S and any aryl ring in

10 R¹⁵ is optionally substituted by R^a and/or R^b;

p is 0-3 in which R³ values can be the same or different;

L is a linking moiety selected from the following groups written from left to right in Formula I:



15 (wherein the piperazine and perhydro-1,4-diazepine rings are optionally substituted);

-CO-NR¹⁶-; -CH₂-NR¹⁶-; -CH₂S-; -CH₂O-; -CH₂-CHR¹⁶-; -CH=CR¹⁶-; -CH₂NR¹⁶-T-;

-CH₂NR¹⁶-SO₂-; -CH₂-NR¹⁶-CO-T'-; -CO-NR¹⁶-T-; -CH₂S-T-; -CH₂O-T- (where R¹⁶ is selected from H, C₁₋₄alkyl, C₁₋₄alkylene-Z, -CO-C₁₋₄alkylene-Z, -CO-C₁₋₆alkyl, -COZ, Z and Z is selected from -O-C₁₋₄alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or

20 bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O, N and S and any aryl ring in R¹⁶ is optionally substituted by R^a and/or R^b as hereinabove defined;

where, T represents -(CH₂)_m- where m is 1-4 and T is optionally monosubstituted with any value of R¹⁶ other than H; and

where T' represents -(CH₂)_{m'}- wherein m' is 0-4 and T is optionally monosubstituted with

25 any value of R¹⁶ other than H);

SV
conts:
A is selected from phenyl; naphthyl; a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms where the heteroatoms are independently selected from O, N &

or a -S-S- dimer thereof when $R^2=H$; or a N-oxide thereof;

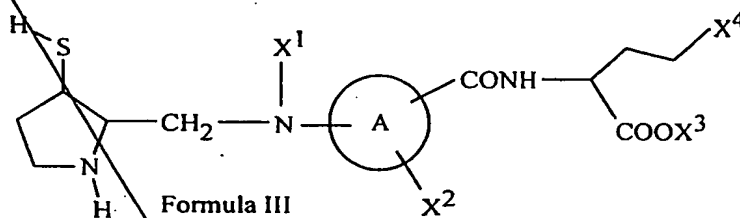
5 or a pharmaceutically acceptable salt, prodrug or solvate thereof.

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2. A compound according to claim 1 wherein L is $-CH_2N(R^{16})-$ or $-CH_2N(R^{16})T-$.

3 A compound according to either claim 1 or claim 2 wherein A is phenyl or naphthyl.

4. A compound according to claim 1 of the formula (III):

10



wherein:

X^1 is selected from H; C_{1-6} alkyl; hydroxy C_{1-6} alkyl, C_{1-6} alkoxy C_{1-6} alkyl; C_{1-6} alkylcarbonyl; hydroxy C_{1-6} alkylcarbonyl; C_{1-6} alkoxy C_{1-6} alkylcarbonyl;

15 A is selected from phenyl, naphthyl or a 5-10 membered heterocyclic ring having upto 5 heteroatoms selected from O, N and S;

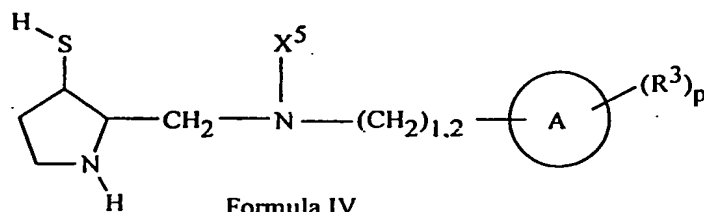
X^2 is selected from H; phenyl; phenyl C_{1-6} alkyl, a 5-6 membered heteroaryl ring containing upto 3 heteroatoms selected from O, N and S optionally linked to A by C_{1-6} alkyl; and X^2 is optionally substituted on any ring by R^a and/or R^b as defined in claim 1;

20 X^3 is selected from H; C_{1-6} alkyl;

X^4 is selected from C_{1-6} alkylsulfanyl; C_{1-6} alkylsulfinyl; C_{1-6} alkylsulfonyl; carbamoyl; N-(C_{1-6} alkyl)carbamoyl; N-(di C_{1-6} alkyl)carbamoyl; and hydroxy or a C_{1-6} alkyl ether thereof; or a N-oxide pharmaceutically-acceptable salt, prodrug or solvate thereof.

5. A compound according to claim 1 of the formula (IV);

25



wherein:

X^5 is selected from C_{1-4} alkyloxy C_{1-4} alkyl; $-C_{1-4}$ alkylPh; $-CO-C_{1-4}$ alkyl-Ph; $-CO-C_{1-6}$ alkyl; $-CO-C_{1-4}$ alkyl-heteroaryl where heteroaryl is a 5-10 membered heteroaryl ring containing 5 upto 5 heteroatoms selected from O, N and S and Ph or heteroaryl are optionally substituted by R^a and/or R^b as defined in claim 1;

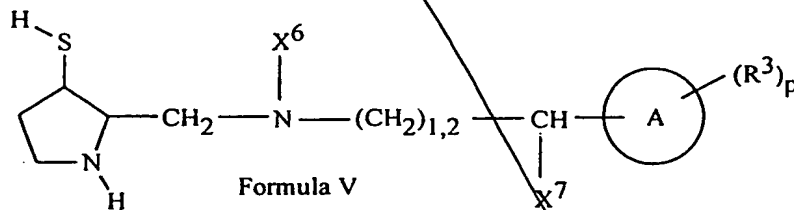
C_{1-4} alkyloxy C_{1-4} alkyl;

A is naphthyl or a 10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

10 R^3 and p are as defined in claim 1;

or a N-oxide or a pharmaceutically-acceptable salt, prodrug or solvate thereof.

6. A compound according to claim 1 of the formula (V):



15 wherein:

X^6 has any value defined for X^5 in claim 5;

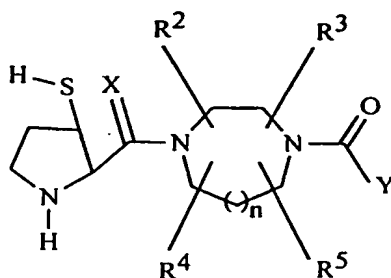
X^7 is Ph optionally substituted by R^a and/or R^b as defined in claim 1;

A is Ph or naphthyl or a 5-10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

20 R^3 and p are as defined in claim 1;

or a N-oxide, or a pharmaceutically acceptable salt, prodrug or solvate thereof.

7. A compound of the formula A:



A

wherein:

5 X is O or H₂;

n is 0 or 1;

t is 1 to 4;

R^{2'}, R^{3'}, R^{4'}, and R^{5'} are independently selected from: H; C₁-8alkyl, alkenyl, alkynyl, aryl, heterocycle, -CO-NR^{6'}R^{7'} or -CO-OR^{6'}, unsubstituted or substituted with one or more of:

10 1) aryl or heterocycle, unsubstituted or substituted with:

- a. C₁-4alkyl,
- b. (CH₂)_tOR^{6'},
- c. (CH₂)_tNR^{6'}R^{7'},
- d. halogen,

15 2) C₃-6cycloalkyl,

3) OR^{6'},

4) SR^{6'}, S(O)R^{6'}, SO₂R^{6'},

5) -NR^{6'}R^{7'},

6) -NR^{6'}-CO-R^{7'},

20 7) -NR^{6'}-CO-NR^{7'}R^{8'},

8) -O-CO-NR^{6'}R^{7'},

9) -O-CO-OR^{6'},

10) -O-NR^{6'}R^{7'},

11) -SO₂NR^{6'}R^{7'},

25 12) -NR^{6'}-SO₂-R^{7'},

13) -CO-R^{6'}, or

14) $-\text{CO}-\text{OR}^{6'}$;

and any two of $\text{R}^{2'}$, $\text{R}^{3'}$, $\text{R}^{4'}$, and $\text{R}^{5'}$ are optionally attached to the same carbon atom;

Y is aryl, heterocycle, unsubstituted or substituted with one or more of:

- 1) C_{1-4} alkyl, unsubstituted or substituted with:
- C_{1-4} alkoxy,
 - $\text{NR}^{6'}\text{R}^{7'}$,
 - C_{3-6} cycloalkyl,
 - aryl or heterocycle,
 - HO ,
- 2) aryl or heterocycle,
- halogen,
 - $\text{OR}^{6'}$,
 - $\text{NR}^{6'}\text{R}^{7'}$,
 - CN
- 7) NO_2 , or
- 8) CF_3 ;

$\text{R}^{6'}$, $\text{R}^{7'}$ and $\text{R}^{8'}$ are independently selected from: H ; C_{1-4} alkyl, C_{3-6} cycloalkyl, heterocycle, aryl, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, unsubstituted or substituted with:

- C_{1-4} alkoxy,
 - aryl or heterocycle,
 - halogen,
 - HO ,
 - $-\text{CO}-\text{R}^{9'}$,
- 6) $-\text{SO}_2\text{R}^{9'}$, or
- 7) NRR^1 , wherein

$\text{R}^{6'}$ and $\text{R}^{7'}$ may be joined in a ring, and

$\text{R}^{7'}$ and $\text{R}^{8'}$ may be joined in a ring;

8) $\text{R}^{9'}$ is C_{1-4} alkyl or aralkyl;

a pharmaceutically acceptable salt thereof.

8. A compound according to claim 1 ~~which is any one of the following individual compounds~~ or a pharmaceutically acceptable salt thereof; *selected from the group consisting of.*

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- (2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester ;
- (2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid ;
- (2S)-2-({2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;
- 10 (2S)-2-({2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;
- (2S)-2-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester ;
- (2S)-2-({3-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid ;
- 15 (2S)-2-({3-phenyl-5[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;
- (2S)-2-({3-phenyl-5[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;
- 20 (cis)-2-[{N-(4-methoxybenzyl)-N-(naphthalen-1-ylmethylamino)-methyl}-pyrrolidine-3-thiol ;
- N-(naphthalen-1-ylmethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-pentanamide;
- N-(naphthalen-1-ylmethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-2-(pyridin-3-yl)-acetamide ;
- 25 N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-3-methyl-N-(2-naphthalen-1-yl-ethyl)butyramide ;
- N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl-acetamide ;
- (cis)-2-[(3-methoxypropyl)-(2-naphthalen-1-ylethyl)amino]methyl}-pyrrolidine-3-thiol;
- N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl-ethyl)-acetamide;
- 30

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Cont
- (cis)-2-[[2-(4-methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl]-pyrrolidine-3-thiol;
- N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3-methyl-butyramide ;
N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-
5 butyramide;
N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-butyramide;
(2S)-2-{3-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-benzoylamino}-4-methylsulfanyl-butyric acid ;
N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-
10 butyramide;
(2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid;
(2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid methyl ester;
15 2-(3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylprrrolidin-2-ylmethyl]-acetamide;
6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylprrrolidin-2-ylmethyl]-pyridine-3-carboxamide;
N-(naphthyl-1-yl-ethyl)-N-[(cis)-3-sulfanylprrrolidin-2-yl-methyl]-thiazole-5-carboxamide;
6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-[cis]-3-sulfanylprrrolidin-2-ylmethyl]-
20 pyridine-3-carboxamide;
(2S)-2-{2-benzyl-4-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanyl-butyric acid;
(2S)-2-(2-methoxy-ethyl)-1-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-4-naphthoyl-piperazine;
(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylprrrolidin-2-ylmethyl)amino]-benzoylamino}-4-
25 methylsulfanylbutyric acid;
(2S)-2-{2-benzyl-4-[(cis)-3-sulfanylprrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanylbutyric acid;
(2S)-2-{2-phenethyl-5-[(trans)-3-sulfanylprrrolidin-2-ylmethylaminobenzoylamino]-4-methylsulfanylbutyric acid;
30 (2S)-2-{phenethyl-5-[(cis)-3-sulfanylprrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

- 34 (2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;
 Cont (2S)-2-{2-(phenethyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino)-4-methylsulfanylbutyric acid;
 5 (2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;
 (2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid isopropyl ester;
 (2S)-2-{2-benzyl-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-
 10 methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-benzyl-4-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 15 (2S)-2-{2-phenyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-
 20 methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-(4-methylphenethyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 (2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;
 25 (2S)-2-(2-methoxyethyl)-1-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-4-(naphth-1-oyl)piperazine;
 (cis)-2-[N-isovaleryl-N-(2-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;
 (cis)-2-[N-(3-pyridylacetyl)-N-(naphth-1-yl)ethyl]aminomethyl]-3-sulfanylpyrrolidine;
 (cis)-2-[N-1-oxido-6-methoxypyridin-3-ylcarbonyl]-N-(naphth-1-yl)ethyl]aminomethyl]-3-
 30 sulfanylpyrrolidine;
 (cis)-2-[N-thiazol-5-ylcarbonyl]-N-(naphth-1-yl)ethyl]aminomethyl]-3-sulfanylpyrrolidine;

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cont
- (2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanyl]-pyrrolidin-2-ylmethylamino]benzoylamino]-4-methylsulfanylbutyric acid;
- methyl (2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]benzoylamino]-4-methylsulfanylbutyrate;
- 5 (2S)-2-[2-(4-fluorophenethyl)-4-((2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethylamino)benzoylamino]-5-methylsulfanylbutyric acid;
- (2S)-2-{2-Benzyl-5-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-benzoylamino]-4-methylsulfanylbutyric acid methyl ester ;
- (2S)-2-{2-Benzyl-5-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-benzoylamino]-4-methylsulfanylbutyric acid ;
- 10 (2S)-2-({2-phenyl-5-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl]-amino)-4-methylsulfanylbutyric acid methyl ester;
- (2S)-2-({2-phenyl-5-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl]-amino)-4-methylsulfanylbutyric acid;
- 15 (2S)-2-({3-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl]-amino)-4-methylsulfanylbutyric acid methyl ester ;
- (2S)-2-({3-[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl]-amino)-4-methylsulfanylbutyric acid ;
- (2S)-2-({3-phenyl-5[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl]-amino)-4-methylsulfanylbutyric acid methyl ester;
- 20 (2S)-2-({3-phenyl-5[[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl]-amino)-4-methylsulfanylbutyric acid;
- (2R,3R)-2-[{N-(4-methoxybenzyl)-N-(naphthalen-1-ylmethyl)-amino}-methyl]-pyrrolidine-3-thiol ;
- 25 N-(naphthalen-1-ylmethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-pentanamide;
- N-(naphthalen-1-ylmethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-2-(pyridin-3-yl)-acetamide ;
- N-((2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-N-(2-naphthalen-1-yl-ethyl)butyramide ;
- 30 N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl-acetamide ;

- 35 (2R,3R)-2-[[(3-Methoxypropyl)-(2-naphthalen-1-ylethyl)amino]methyl]-pyrrolidine-3-thiol;
 cont N-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl]-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl-ethyl)-acetamide ;
 (2R,3R)-2-[[(2-(4-Methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl]-
 5 pyrrolidine-3-thiol ;
N-(2,2-Diphenyl-ethyl)-N-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3-methyl-butyramide ;
N-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-
 butyramide ;
N-(2,2-Diphenyl-ethyl)-N-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-
 10 butyramide ;
(2S)-2-{3-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-
 benzoylamino}-4-methylsulfanyl-butyric acid ;
N-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-
 butyramide ;
 15 (2S)-4-carbamoyl-2-({2-phenyl-5-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-
 phenylcarbonyl}-amino)-butyric acid;
(2S)-4-carbamoyl-2-({2-phenyl-5-[[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-
 phenylcarbonyl}-amino)-butyric acid methyl ester;
 2-(3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
 20 acetamide;
 6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
 pyridine-3-carboxamide;
N-(naphthyl-1-yl-ethyl)-N-[[(2R,3R)-3-sulfanylpyrrolidin-2-yl-methyl]-thiazole-5-
 carboxamide;
 25 6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
 pyridine-3-carboxamide;
(2S)-2-{2-benzyl-4-[[(2R,3R)]-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-
 methylsulfanyl-butyric acid; and
(2S)-2-(2-methoxy-ethyl)-1-[[(2R,3R)]-3-sulfanyl-pyrrolidin-2-ylmethyl)-4-naphthoyl-
 30 piperazine.

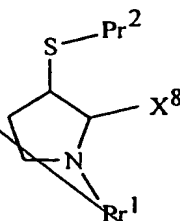
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a B 79.
A pharmaceutical composition which comprises a compound according to ~~any one of~~ claims 1 to 8 and a pharmaceutically-acceptable carrier.

10. A method of ~~inhibiting farnesylation~~ of mutant ras gene in a patient requiring such treatment by administering an effective amount of a compound of the formula (I) to the patient.

a men.
a 2 11. A compound according to any one of claims 1 to 8 for use as a medicament.

12. A compound according to any one of claims 1 to 8 for use in the preparation of a medicament for treatment of a disease mediated through farnesylation of mutant ras.

10 13. A process for preparing compounds of the Formula I as defined in claim 1 which comprises deprotecting a compound of Formula VI:



Formula VI

wherein X^8 represents the right hand side of the Formula I as defined in claim 1, Pr^1 is H or an amino protecting group, Pr^2 is H or a thio protecting group and any functional groups in X^8 are optionally protected with the proviso that there is at least one protecting group and optionally, if desired, converting the product thus obtained into a pharmaceutically-acceptable salt thereof.

a 23
a 23
B 8

a 23
B 8

a 24
a 24